STN- Structure Search

10/577,584

10/4/07

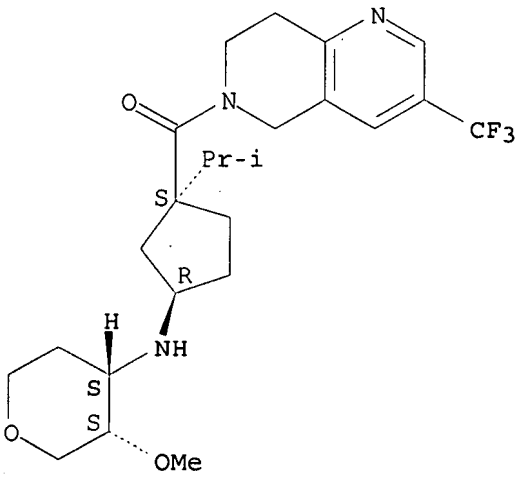
=> d ibib abs hitstr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:677615 CAPLUS
DOCUMENT NUMBER: 145:117392
TITLE: Drug combination therapy and pharmaceutical compositions using CCR2 antagonists and statins for treating inflammatory disorders
INVENTOR(S): Forrest, Michael J.; Demartino, Julie A.; Flicker, Michele R.; Melian, Augustin; Kanwar, Samina; Romano, Gary J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006074265	A2	20060713	WO 2006-US253	20060105
WO 2006074265	A3	20070614		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006204038	A1	20060713	AU 2006-204038	20060105
CA 2593545	A1	20060713	CA 2006-2593545	20060105
IN 2007CN02529	A	20070907	IN 2007-CN2529	20070612
PRIORITY APPLN. INFO.:			US 2005-641707P	P 20050106
			WO 2006-US253	W 20060105

AB A combination of a CCR2 antagonist and a statin is useful in the treatment and or prevention of inflammatory and other disorders, and methods of treating inflammatory and other disorders using a combination of a CCR2 antagonist and a statin.
IT 624733-88-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CCR2 antagonist-statin combination for treating inflammatory disorders)
RN 624733-88-6 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



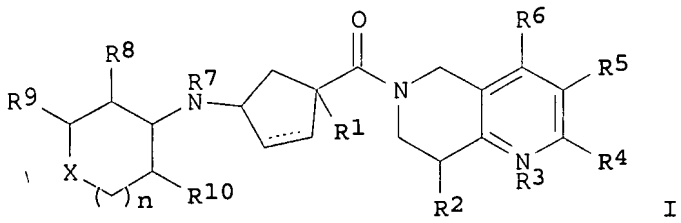
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:121960 CAPLUS
DOCUMENT NUMBER: 144:212759
TITLE: Preparation of tetrahydropyranylaminocyclopentylcarbonyltetrahydropyridopyridines as modulators of CCR2 chemokine receptor activity.
INVENTOR(S): Demartino, Julie; Akiyama, Taro; Struthers, Mary; Yang, Lihu; Berger, Joel P.; Morriello, Gregori; Pastemak, Alexander; Zhou, Changyou; Mills, Sander G.; Butora, Gabor; Kothandaraman, Shankaran; Guiadeen, Deodialsingh; Tang, Cheng; Jiao, Richard; Goble, Stephen D.; Moyes, Christopher
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of Ser. No. US 2004-923594, filed on 20 Aug 2004 whichCont.-in-pa
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006030582	A1	20060209	US 2005-102417	20050408
US 2004167156	A1	20040826	US 2003-425167	20030429
US 6812234	B2	20041102		
US 2005107422	A1	20050519	US 2004-923594	20040820
US 7230008	B2	20070612		
EP 1627636	A1	20060222	EP 2005-270011	20050418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

PRIORITY APPLN. INFO.:

US 2002-376180P	P	20020429
US 2003-425167	A2	20030429
US 2004-923594	A2	20040820
US 2002-376291P	P	20020429
US 2005-102417	A	20050408

OTHER SOURCE(S): MARPAT 144:212759
GI



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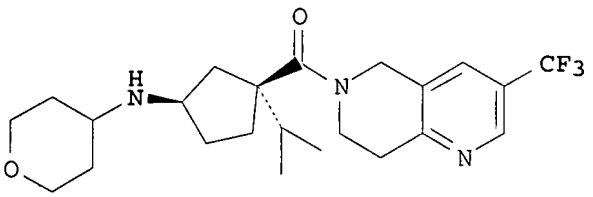


II

AB Title compds. [I; X = O, NR20, S, SO, SO2, CR21R22, NSO2R20, NCOR20, CO, etc.; R20 = H, (substituted) alkyl, Ph, PhCH2, cycloalkyl; R21, R22 = H, OH, (substituted) alkyl, alkoxy, Ph, PhCH2, cycloalkyl; R1 = (substituted) alkyl, alkoxyalkyl, alkylthioalkyl, heterocyclyl, cyano, Ph, CO2R20, NHCOR20, etc.; R2 = H, OH, halo, CO2R20, (substituted) alkyl, etc.; R3 = O, null; R4 = H, alkyl, CF3, OCF3, Cl, F, Br, Ph; R5 = (substituted) alkyl, alkoxy, alkylcarbonyl, Ph, PhO, pyridyl, CO2R20, etc.; R6 = H, alkyl, CF3, F, Cl, Br; R7 = H, (substituted) alkyl; R8 = H, F, OH, cycloalkyloxy, (substituted) alkyl, CO2R20, etc.; R9 = H, OH, (substituted) alkyl, alkoxy, CO2R20; R8R9 = atoms to form a 3-6 membered ring; R10 = H, F, cycloalkoxy, (substituted) alkyl; R8R10 = atoms to form a 6-8 membered ring; n = 0-2; dashed line = optional double bond], were prepared Thus, title compound (II) was prepared in many steps. I generally showed IC50 values of <1 μM in a CCR-2 receptor binding assay.

IT 625097-14-5P 625097-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydropyranylaminocyclopentylcarbonyltetrahydropyridopyridines as modulators of CCR2 chemokine receptor activity)

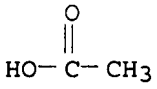
RN 625097-14-5 CAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CM 2

CRN 64-19-7
CMF C2 H4 O2

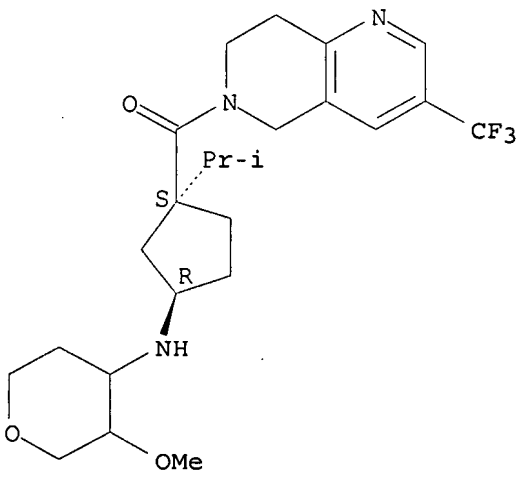


RN 875925-16-9 CAPLUS
CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, propanoate (salt) (9CI) (CA INDEX NAME)

CM 1

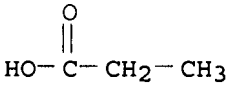
CRN 625097-14-5
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.



CM 2

CRN 79-09-4
CMF C3 H6 O2

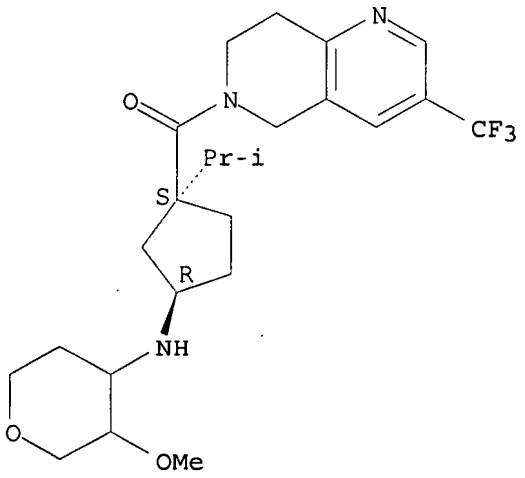


RN 875925-17-0 CAPLUS
CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, butanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 625097-14-5
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 875925-18-1 CAPLUS
CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, hydroxyacetate (salt) (9CI) (CA INDEX NAME)

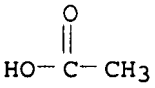
CM 1

CRN 625097-14-5
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.

CM 2

CRN 64-19-7
CMF C2 H4 O2

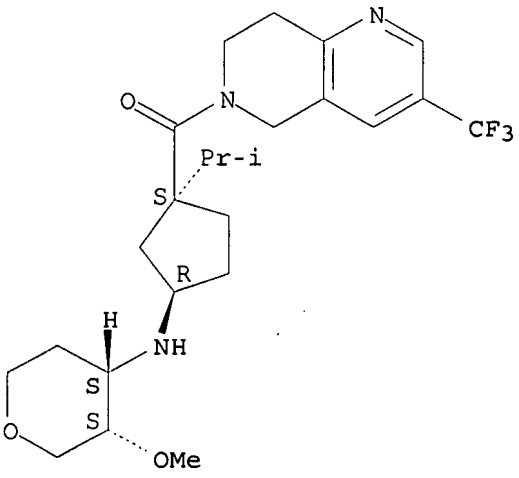


RN 875925-47-6 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, propanoate (salt) (9CI) (CA INDEX NAME)

CM 1

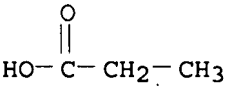
CRN 624733-88-6
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.



CM 2

CRN 79-09-4
CMF C3 H6 O2

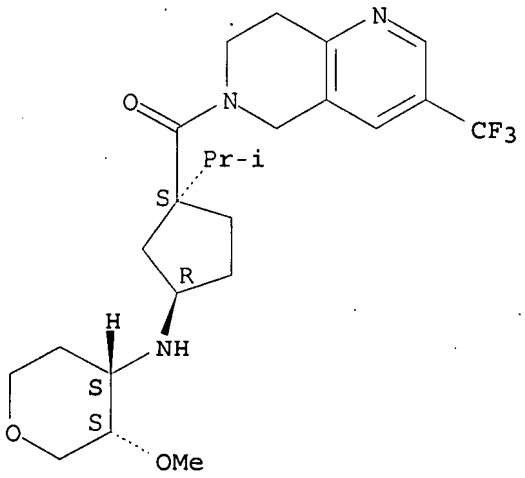


RN 875925-48-7 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, butanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 624733-88-6
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 875925-49-8 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, hydroxyacetate (salt) (9CI) (CA INDEX NAME)

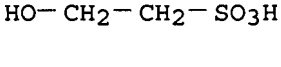
CM 1

CRN 624733-88-6
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.

CM 2

CRN 107-36-8
CMF C2 H6 O4 S

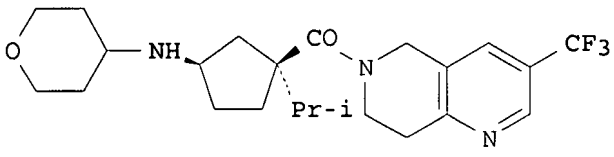


L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:431408 CAPLUS
DOCUMENT NUMBER: 142:482030
TITLE: Tetrahydropyranyl cyclopentyl tetrahydropyridopyridine modulators of chemokine receptor activity
INVENTOR(S): Jiao, Richard; Butora, Gabor; Goble, Stephen D.; Guiadeen, Deodialsingh; Mills, Sander G.; Morriello, Gregori; Pasternak, Alexander; Tang, Cheng; Yang, Lihu; Zhou, Changyou; Kothandaraman, Shankaran; Moyes, Christopher
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of U.S. Ser. No. 425,167.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005107422	A1	20050519	US 2004-923594	20040820
US 7230008	B2	20070612		
US 2004167156	A1	20040826	US 2003-425167	20030429
US 6812234	B2	20041102		
US 2006030582	A1	20060209	US 2005-102417	20050408
EP 1627636	A1	20060222	EP 2005-270011	20050418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

PRIORITY APPLN. INFO.:
US 2002-376180P P 20020429
US 2002-376291P P 20020429
US 2003-425167 A2 20030429
US 2004-923594 A2 20040820
US 2005-102417 A 20050408

OTHER SOURCE(S): MARPAT 142:482030
GI



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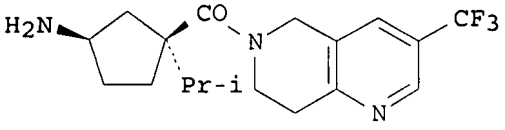


II

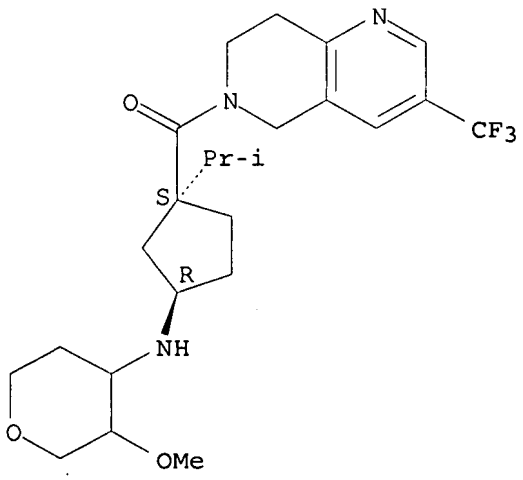
AB The present invention is directed to methods for treating, preventing, ameliorating, controlling or reducing the risk of an inflammatory or immunoregulatory disorder or disease, which method comprises the administration to a patient of an effective amount of the title compds. which are useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. E.g., I was prepared by reaction of the synthesized intermediate II with tetrahydro-4H-pyran-4-one in the presence of Na triacetoxyborohydride.

IT 625097-14-5P 625097-40-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(tetrahydropyranyl cyclopentyl tetrahydropyridopyridine modulators of chemokine receptor activity)

RN 625097-14-5 CAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

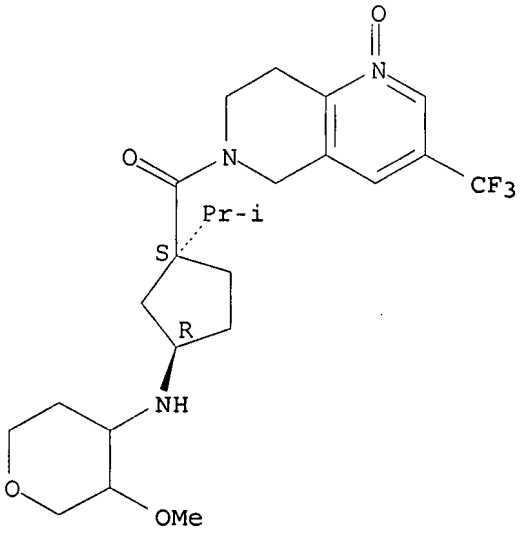
Absolute stereochemistry.



RN 625097-40-7 CAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-1-oxido-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:426567 CAPLUS
DOCUMENT NUMBER: 142:482029
TITLE: Preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist
INVENTOR(S): Cai, Dongwei; Fleitz, Fred; Ge, Min; Hoerrner, Scott; Javadi, Gary; Jensen, Mark; Larsen, Robert; Li, Wenjie; Nelson, Dorian; Szumigala, Elizabeth; Yang, Lihu; Zhou, Changyou
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044795	A1	20050519	WO 2004-US35294	20041025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004287810	A1	20050519	AU 2004-287810	20041025
CA 2543250	A1	20050519	CA 2004-2543250	20041025
EP 1682500	A1	20060726	EP 2004-796305	20041025

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

BR 2004015862	A	20070109	BR 2004-15862			20041025
JP 2007509944	T	20070419	JP 2006-538149			20041025
IN 2006DN02137	A	20070629	IN 2006-DN2137			20060419
US 2007135475	A1	20070614	US 2006-577587			20060427
PRIORITY APPLN. INFO.:			US 2003-514754P		P	20031027
			WO 2004-US35294		W	20041025

OTHER SOURCE(S): CASREACT 142:482029; MARPAT 142:482029

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides an efficient synthesis for the preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine (I) and its succinate salt. The present invention addnl. provides an efficient syntheses for the preparation of intermediates, i.e. (3R)-3-methoxytetrahydro-4H-pyran-4-one (II), (1S,4S)-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-isopropylcyclopent-2-ene-1-carboxylic acid (III), and 3-(trifluoromethyl)-5,6,7,8-tetrahydro-1,6-naphthyridine (IV), and for the preparation of the precursor (3S,4S)-N-((1S,4S)-4-isopropyl-4-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopent-2-en-1-yl)-3-methoxytetrahydro-2H-pyran-4-amine (V). The invention addnl. resides in the superior properties of the I succinate. Thus, 730 g III was treated with 228 mL methanesulfonyl chloride in the presence of 0.93 L diisopropylethylamine in 6.6 L THF at 0-13°, stirred at room temperature for 4 h, cooled to .apprx.15°, treated with IV.HCl, warmed to 23°, treated with 0.93 L diisopropylethylamine with cooling at .apprx.25° over 15 min, and aged for .apprx.1 h to give 1.055 kg the compound (VI). VI (1.055 kg) in MeOH was added to 1 kg hydroxylamine hydrochloride, 50% aqueous hydroxylamine (1 L), and 5 L H2O, and the resulting slurry was refluxed at 71° for 6 h to give, after treatment with anhydrous HCl in isopropanol, the amine dihydrochloride (VII) (0.76 kg). VII (777 g) was slurried in 3 L iso-Pr acetate and the mixture was cooled in an ice bath, successively treated with 860 mL n-Bu3N, 260 mL isopropanol, and sodium triacetoxyborohydride (724 g, at 5°), and after 1 h, treated with a solution of II in iso-Pr acetate (1.76 L of a 160 g/L solution), and allowed to react for 6 h to give 654 g crude V (90%). V (640 g) was diluted with 6.4 L MeOH, charged to an autoclave, treated with a slurry of 256 g 5% Pd-C in 5.0 L MeOH, and hydrogenated under H pressure of 40 psi at 25° overnight to give a solution of 633 g I in MeOH (98.5%) which was concentrated to an oil (770 g). The oil was dissolved in 3.1 L iso-Pr acetate and the solution was concentrated to a brown oil. Dilution with iso-Pr acetate and concentration was repeated two addnl. times. The resulting oil was converted into I benzenesulfonate (1.645 kg) by treating with 283 g benzenesulfonic acid in iso-Pr acetate and treatment with heptane and the resulting benzenesulfonate salt was treated with a mixture of K2CO3, H2O, and iso-Pr acetate to give an oil containing 1.16 kg I. The latter oil was treated with 294 g succinic acid in ethanol and heptane to give 1.328 kg I succinate.

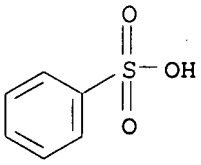
IT 624733-88-6P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist)

CM 2

CRN 98-11-3
CMF C6 H6 O3 S



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inventors

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:426431 CAPLUS
DOCUMENT NUMBER: 142:482028
TITLE: Preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist
INVENTOR(S): Jensen, Mark; Larsen, Robert; Sidler, Daniel Richard
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044264	A1	20050519	WO 2004-US35069	20041025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004287416	A1	20050519	AU 2004-287416	20041025
CA 2543201	A1	20050519	CA 2004-2543201	20041025
EP 1682135	A1	20060726	EP 2004-796120	20041025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1870998	A	20061129	CN 2004-80031594	20041025
BR 2004015836	A	20070102	BR 2004-15836	20041025
JP 2007509940	T	20070419	JP 2006-538125	20041025
IN 2006DN02140	A	20070810	IN 2006-DN2140	20060419
MX 2006PA04647	A	20060627	MX 2006-PA4647	20060426
US 2007135474	A1	20070614	US 2006-577584	20060427
NO 2006002377	A	20060524	NO 2006-2377	20060524
PRIORITY APPLN. INFO.:			US 2003-514735P P	20031027
			WO 2004-US35069 W	20041025

OTHER SOURCE(S): CASREACT 142:482028

CM 2

CRN 110-15-6
CMF C4 H6 O4

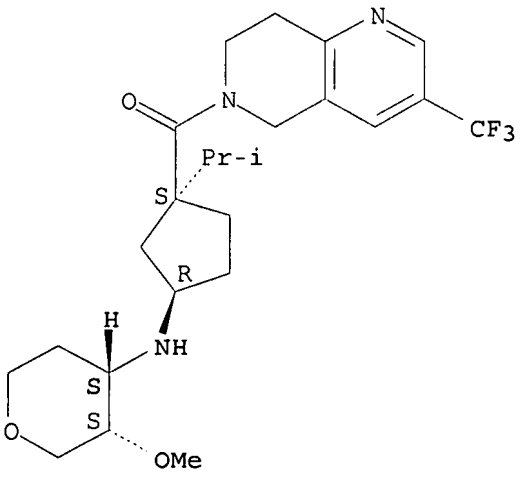
$HO_2C-CH_2-CH_2-CO_2H$

RN 851916-43-3 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl-, monobenzenesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

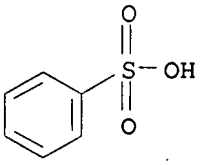
CRN 624733-88-6
CMF C24 H34 F3 N3 O3

Absolute stereochemistry.



CM 2

CRN 98-11-3
CMF C6 H6 O3 S



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1124588 CAPLUS
DOCUMENT NUMBER: 142:69197
TITLE: CCR-2 antagonists for treatment of neuropathic pain

10/577,584

INVENTOR(S): Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110376	A2	20041223	WO 2004-US17499	20040602
WO 2004110376	A3	20050224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006205761	A1	20060914	US 2005-559701	20051206
PRIORITY APPLN. INFO.:			US 2003-476391P P	20030606
			US 2003-531637P P	20031222
			WO 2004-US17499 W	20040602

OTHER SOURCE(S): MARPAT 142:69197

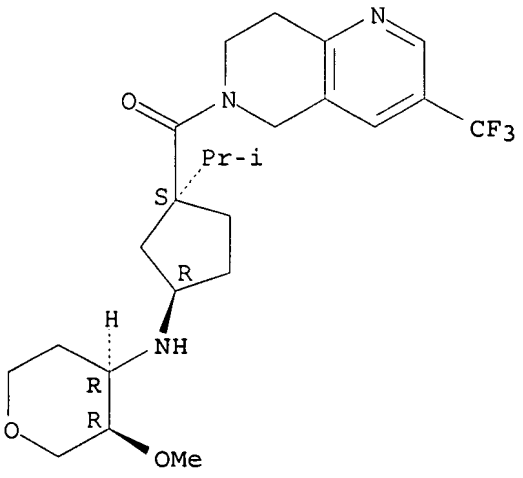
AB The invention is directed to methods of treating neuropathic pain and other neuropathic diseases and conditions with CCR-2 antagonists and pharmaceutical composition containing CCR-2 antagonists.

IT 624733-87-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CCR2 antagonists for treatment of neuropathic pain)

RN 624733-87-5 CAPLUS

CN D-erythro-Pentitol, 1,5-anhydro-3,4-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:892775 CAPLUS
DOCUMENT NUMBER: 139:381471
TITLE: Preparation of tetrahydropyranyl cyclopentyl tetrahydropyridopyridines as modulators of chemokine receptor activity
INVENTOR(S): Jiao, Richard; Morriello, Gregori; Yang, Lihu; Moyes, Christopher
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093266	A1	20031113	WO 2003-US13042	20030425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 262077	B	20060921	TW 2003-92109364	20030422
AU 2003234251	A1	20031117	AU 2003-234251	20030425
BR 2003009650	A	20050426	BR 2003-9650	20030425
CN 1662532	A	20050831	CN 2003-815041	20030425
RU 2285004	C2	20061010	RU 2004-134604	20030425
US 2005101628	A1	20050512	US 2004-856012	20040528
IN 2004CN02443	A	20070330	IN 2004-CN2443	20041027
MX 2004PA10702	A	20050217	MX 2004-PA10702	20041028
NO 2004005235	A	20041129	NO 2004-5235	20041129

PRIORITY APPLN. INFO.: US 2002-376291P P 20020429
WO 2003-US13042 W 20030425

OTHER SOURCE(S): MARPAT 139:381471
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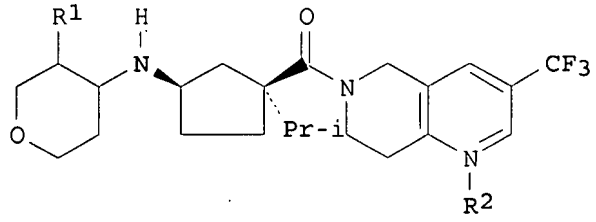

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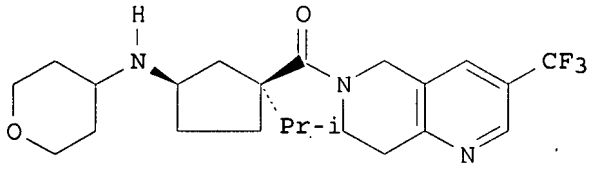


II

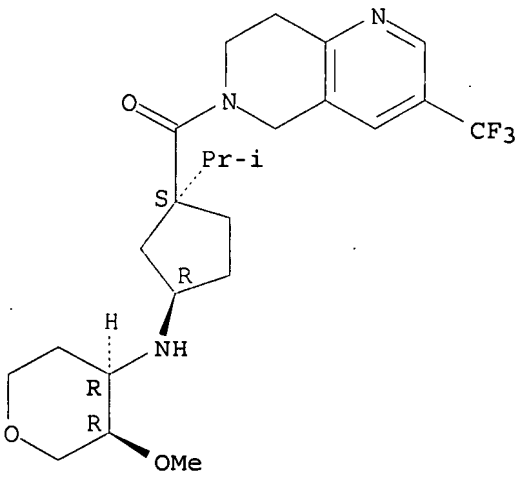
AB Title compds. I (R1 = H, F, OH, alkoxy, or alkyl optionally substituted with 1-6 fluoro atoms; R2 = O or absent) and their pharmaceutically acceptable salts are prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding aminocyclopentane precursor (preparation given). In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. I was found generally to possess an IC50 value of less than about 1 μM in binding to the CCR-2 receptor in performed assays.

IT 624733-87-5P 624733-88-6P 624733-89-7P
624733-90-0P 624734-12-9P 624734-13-0P
624734-14-1P 624734-15-2P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydropyranyl cyclopentyl tetrahydropyridopyridines as modulators of chemokine receptor activity)

RN 624733-87-5 CAPLUS

CN D-erythro-Pentitol, 1,5-anhydro-3,4-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2-O-methyl- (9CI) (CA INDEX NAME)

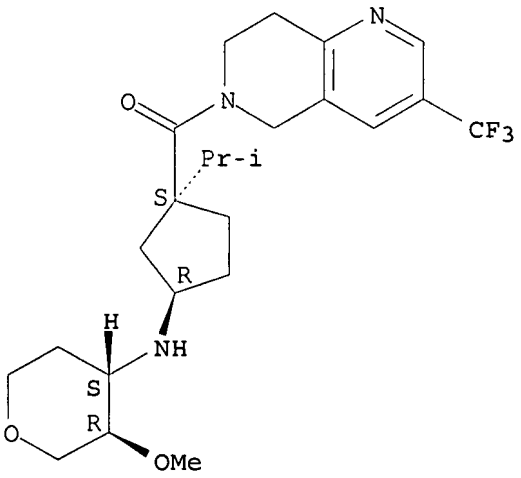
Absolute stereochemistry.



RN 624733-88-6 CAPLUS

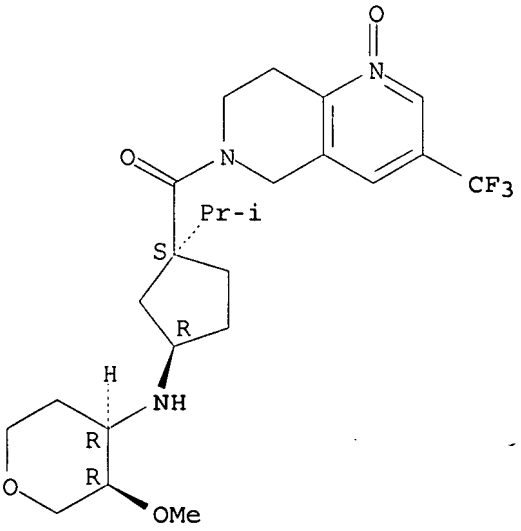
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 624734-12-9 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-3,4-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-1-oxido-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 624734-13-0 CAPLUS
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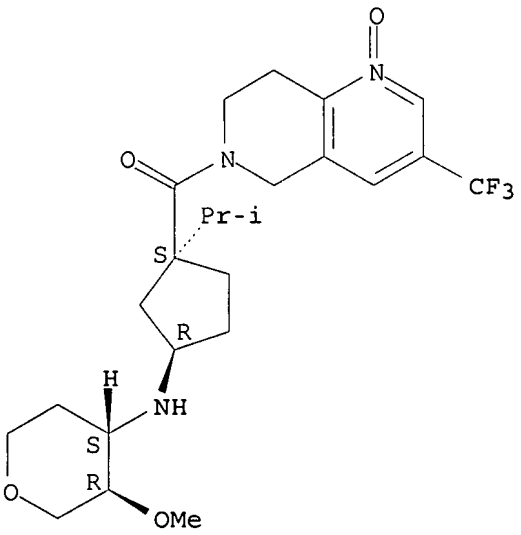
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:892537 CAPLUS
DOCUMENT NUMBER: 139:381470
TITLE: Preparation of tetrahydropyranyl cyclopentyl tetrahydropyridopyridine as modulators of chemokine receptor activity
INVENTOR(S): Jiao, Richard; Morriello, Gregori; Yang, Lihu; Goble, Stephen D.; Mills, Sander G.; Pasternak, Alexander; Zhou, Changyou; Butora, Gabor; Kothandaraman, Shankaran; Guiadeen, Deodialsingh; Tang, Cheng; Moyes, Christopher
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092586	A2	20031113	WO 2003-US12929	20030425
WO 2003092586	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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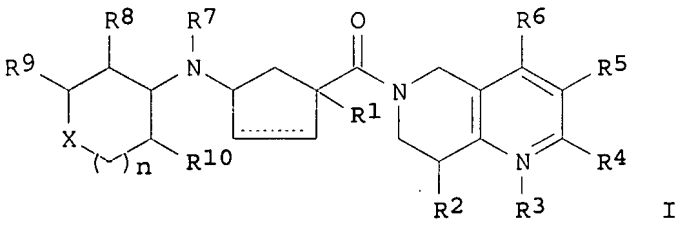
AU 2003231114	A1	20031117	AU 2003-231114	20030425
EP 1501507	A2	20050202	EP 2003-724241	20030425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

NZ 536477	A	20050527	NZ 2003-536477		20030425
JP 2005523929	T	20050811	JP 2004-500771		20030425
JP 3780291	B2	20060531			
ZA 2004007940	A	20060628	ZA 2004-7940		20041001
PRIORITY APPLN. INFO.:			US 2002-376180P	P	20020429
			WO 2003-US12929	W	20030425

OTHER SOURCE(S): MARPAT 139:381470
GI



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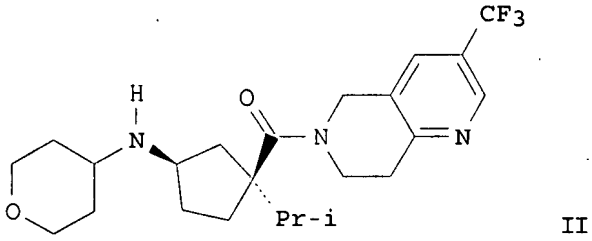


II

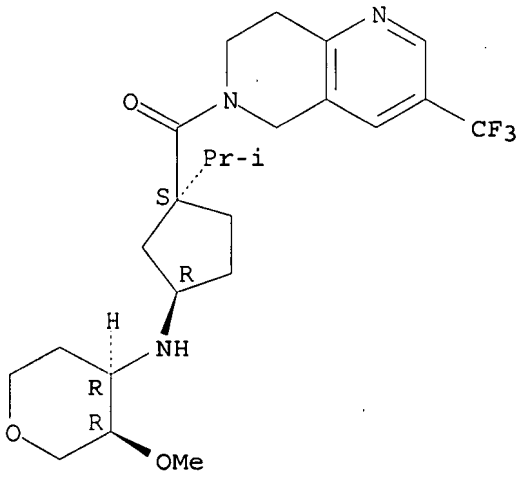
AB Title compds. I (X = O, S, SO2, CR11R12, etc.; R1 = OH, (un)substituted alkyl, alkyloxyalkyl, Ph, heterocycle, etc.; , R2 = H, OH, halo, CN, heterocycle, (un)substituted alkyl, etc.; R3 = O or absent; R4 H, alkyl, F3C, F3CO, Cl, Br, F, and Ph; R5 = F, Cl, Br, CN, (un)substituted alkyl, thioalkyl, etc.; R6 = H, alkyl, F3C, F, Cl, Br; R7 = H, (un)substituted alkyl; R8 = H, OH, F, (un)substituted alkyl, or R7 and R8 may joined to from a carbocycle or heterocycle, etc.; R9 = H, OH, (un)substituted alkyl, alkyloxy, carboxylate, or R8 and R9 may together from a carbocycle or heterocycle, etc.; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, alkyl, or R8 and R10 may together form a 5-6 membered (un)substituted ring; R11 and R12 = independently H, OH, (un)substituted alkyl, benzyl, cycloalkyl, etc.; n = 0-2) and their pharmaceutically acceptable salts were prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding amino cyclopentyl precursor (preparation given). In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. I had activity in binding to the CCR-2 receptor generally with an IC50 of less than about 1 μM.

IT 625097-14-5P 625097-40-7P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(claimed compound; preparation of tetrahydropyranyl cyclopentyl tetrahydropyridopyridines as modulators of chemokine receptor activity)

RN 625097-14-5 CAPLUS

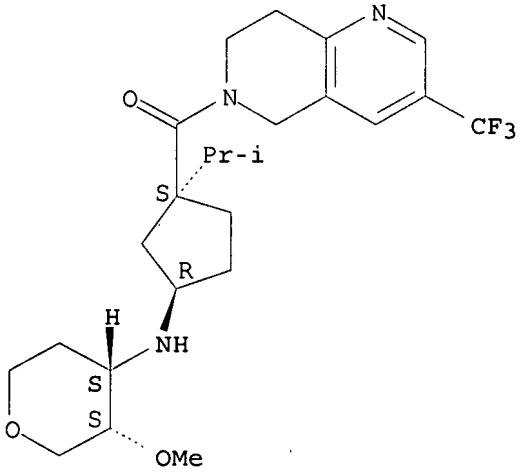
CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



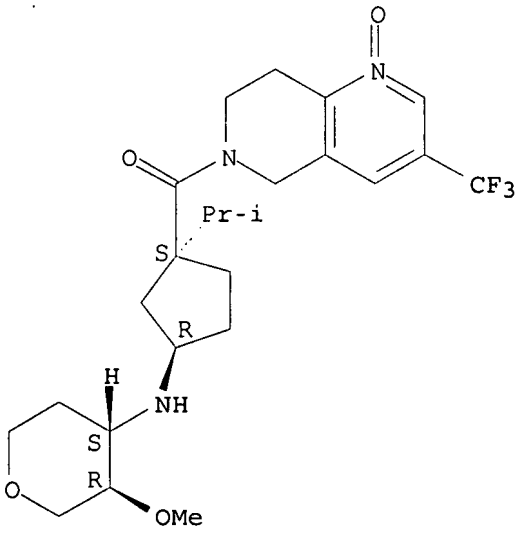
RN 624733-88-6 CAPLUS
CN D-erythro-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



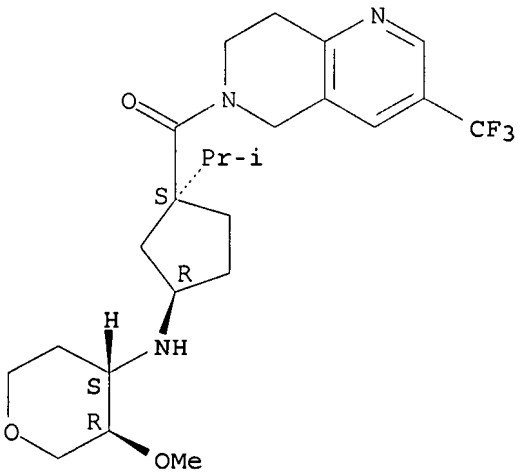
RN 624733-89-7 CAPLUS
CN D-threo-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 624733-90-0P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of tetrahydropyranyl cyclopentyl tetrahydropyridopyridines as modulators of chemokine receptor activity)
RN 624733-90-0 CAPLUS
CN L-threo-Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 09:55:03 ON 04 OCT 2007)

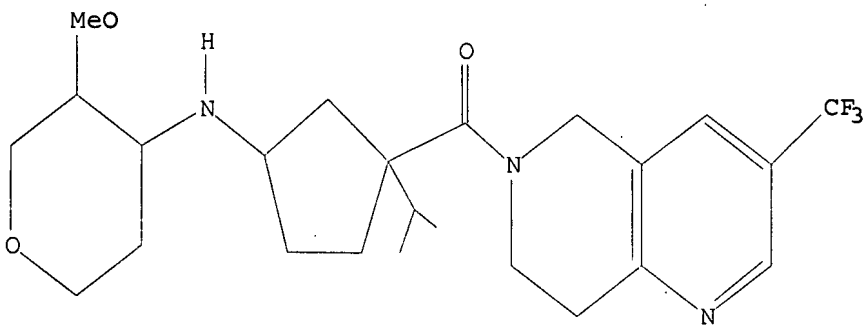
FILE 'REGISTRY' ENTERED AT 09:55:23 ON 04 OCT 2007
L1 STRUCTURE UPLOADED
L2 6 S L1

10/577,584

L3 74 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:55:55 ON 04 OCT 2007
L4 8 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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